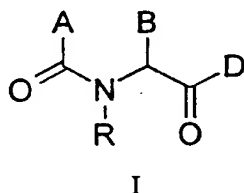


What is claimed is ;

1. A compound having a Formula I :



wherein

A is a lipophilic group comprising an aliphatic bridging group, and

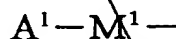
B is a lipophilic group, and

D is a group having at least one amino or substituted amino group, and

R is hydrogen, alkyl, or cycloalkyl,

and pharmaceutically acceptable salts and individual isomers thereof.

2. A compound, and pharmaceutically acceptable salts and individual isomers thereof according to Claim 1 wherein A is :

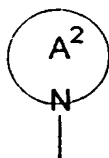


wherein

A¹ is an aliphatic or aromatic ring which may have at least one hetero atom, and

M¹ is substituted or unsubstituted alkylene.

3. A compound, and pharmaceutically acceptable salts and individual isomers thereof according to Claim 2 wherein A¹ is :



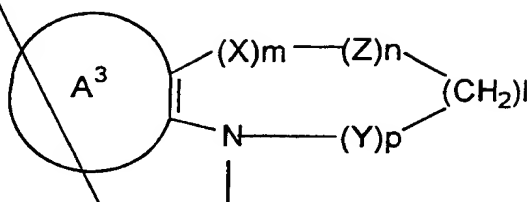
wherein

A² is single or fused ring, each ring constituting A² is an aliphatic or aromatic ring which may have at least one hetero atom, each ring constituting A² may be substituted by at least one group selected from halogen, hydroxy, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, alkoxy, substituted alkoxy, perfluoroalkyl, perfluoroalkoxy, cyano, nitro, substituted sulfonyl, substituted sulfinyl, substituted sulfinyl, mercapto, substituted carbonyl, amino, substituted amino, aryl, and substituted aryl, and

M¹ is alkylene which may be substituted by halogen, hydroxy, (C₁ - C₅)alkyl, and / or (C₁ - C₆)alkoxy.

4. A compound, and pharmaceutically acceptable salts and individual isomers thereof

according to Claim 3 wherein A¹ is :



wherein

A³ is a 5, 6, or 7 membered aromatic ring which may be comprised of at least one hetero atom, and may be substituted by a group selected from halogen, hydroxy, (C₁–C₅)alkyl, (C₁–C₅)alkoxy, (C₁–C₅)perfluoroalkyl, (C₁–C₅)perfluoroalkoxy, nitro, cyano, substituted sulfonyl, substituted sulfinyl, substituted sulfinyl, mercapto, amino, substituted amino, substituted carbonyl, phenyl and / or substituted phenyl, and

A³ can be fused with at least 5 to 8 membered aliphatic or aromatic ring which may be consisted of at least one hetero atom, and

l is 0, 1, or 2, and

X is –CH₂–, –O–, –S(O)r–, –C(O)–, –C(S)–, –CH=CH–, –CH(OH)–, or –NR⁴–, and

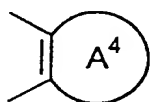
R⁴ is hydrogen, (C₁–C₅)alkyl, (C₃–C₈)cycloalkyl, acyl, or alkoxycarbonyl, and

m is 0, 1, or 2, and

Y is –C(O)–, –C(S)–, or (C₁–C₅)alkylene which may be substituted by (C₁–C₅)alkyl, p is 0, 1, or 2, and

r is 0, 1, or 2, and

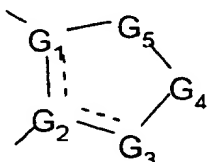
Z is substituted or unsubstituted (C₁–C₅)alkylene, –NR⁴–, or



wherein

A⁴ is a 5 or 6 membered aromatic ring which may be comprised of at least one hetero atom, and

A⁴ may be substituted by a group selected from halogen, hydroxy, (C₁–C₅)alkyl, (C₁–C₅)alkoxy, (C₁–C₅)perfluoroalkyl, (C₁–C₅)perfluoroalkoxy, nitro, cyano, amino, substituted amino, phenyl and / or substituted phenyl, or



wherein

- 5 G_1 and G_2 are independently carbon or nitrogen, and
 one of \equiv may represent double bond when either G_1 and G_2 or G_2 and G_3 are carbon,
 and
 G_3 , G_4 , and G_5 are independently $-O-$, $-S(O)_r-$, $-C(O)-$, $-C(S)-$, $-CH=CH-$,
 $-CH(OH)-$, $-NR^4-$, or (C_1-C_5) alkylene,
 10 r is 0, 1, or 2, and
 n is 0 or 1.

5. A compound, and pharmaceutically acceptable salts and individual isomers thereof
 according to Claim 4 wherein A^1 is selected from :

- 15 10,11-Dihydrodibenzo[b,f][1,4]oxazepin-11-one,
 3,4-Dihydro-2H-quinoline,
 2-Oxo-3,4,5,6-tetrahydro-2H-benzo[b]azocine,
 2,3-Dioxo-2,3-dihydro-indole,
 2-Oxo-3,4-dihydro-2H-quinoline,
 3-Oxo-2,3-dihydro-pyrido[3,2-b][1,4]oxazine,
 20 4-Methyl-2,5-dioxo-2,3,4,5-tetrahydro-benzo[e][1,4]diazepine,
 2,3-Dihydro-1H-pyrrolo[2,1-c][1,4]benzodiazepin-5,11(10H,11aH)-dione,
 3-Oxo-2,3-dihydro-benzo[1,4]thiazine,
 6-Oxo-11,12-dihydro-6H-dibenzo[b,f]azocine,
 2-Oxo-2,3,4,5-tetrahydrobenzo[b]azepine,
 25 1,1,4-Trioxo-2,3-dihydro-benzo[1,5]thiazepine,
 4-Oxo-2,3-dihydro-1,5-benzothiazepine,
 5,11-Dihydro-dibenzo[b,e]azepine,
 5H-Dibenzo[b,e]azepin-6,11-dione,
 5H-Dibenzo[b,f]azocin-6-one,
 10H-Dibenzo[b,f][1,4]thiazepin-11-one,
 30 5-Oxo-5,10H-dibenzo[b,f][1,4]thiazepin-11-one,
 5,5-Dioxo-5,10H-dibenzo[b,f][1,4]thiazepin-11-one,
 4-Oxo-2,3-dihydro-[1,5]benzoxazepine,
 6,12-Dioxo-6,6a,7,8,9,10-exahydro-12H-benzo[e]-pyrido[1,2-a][1,4]diazepine,
 2-Oxo-2H-cyclohepta-4,6,8-trieno[b]pyrrole and
 Phenothiazine, each of which may be substituted.

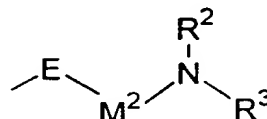
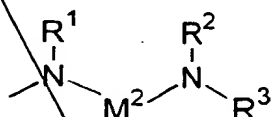
35 6. A compound, and pharmaceutically acceptable salts and individual isomers thereof
 according to Claim 1 wherein :

B is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, or aryl, arylalkyl or
 arylalkoxyalkyl which may be substituted on their aromatic ring.

7. A compound, and pharmaceutically acceptable salts and individual isomers thereof
 according to Claim 6 wherein :

B is substituted or unsubstituted ($C_6 - C_{20}$) alkyl, phenylalkyl, naphthylalkyl, 5,6,7,8-tetrahydro-naphthylalkyl, indolylalkyl, quinolylalkyl, or phenylalkoxyalkyl, which may be substituted by a group selected from halogen, hydroxy, ($C_1 - C_5$) alkyl, ($C_1 - C_5$) alkoxy, nitro, cyano, amino, substituted amino, phenyl, or substituted phenyl.

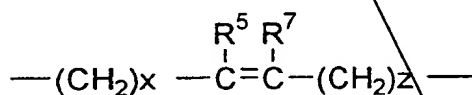
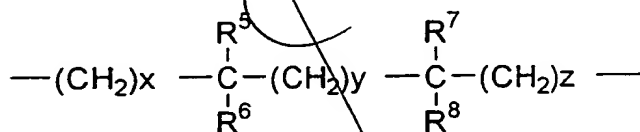
- 5 8. A compound, and pharmaceutically acceptable salts and individual isomers thereof according to Claim 1 wherein D is:



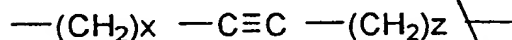
or

wherein

R^1 is hydrogen, alkyl, substituted alkyl, cycloalkyl, or substituted cycloalkyl, and R^2 and R^3 are independently hydrogen, alkyl, substituted alkyl, acyl, amidino, alkoxy carbonyl, or either R^2 or R^3 can be taken together with R^1 to form alkylene, and R^2 and R^3 can be taken together to form alkylene, or heterocycle, and M^2 is:



or



wherein

- x , y and z are independently an integer of 0 to 4, and R^5 , R^6 , R^7 and R^8 are independently hydrogen, halogen, alkyl, substituted alkyl, $-OR^9$, $-SR^9$, $-NR^9R^{10}$, $-NHC(O)R^9$, $-C(O)OR^9$, $-OCOR^9$, $-OC(O)OR^9$, $-CONR^9R^{10}$, or can be taken together with R^1 or R^2 to form alkylene or heterocycle, R^9 and R^{10} are independently hydrogen, alkyl, substituted alkyl, and R^9 can be taken together with R^1 or R^2 to form alkylene, R^5 and R^7 or R^6 and R^8 can be taken together to form alkylene or heterocycle, or R^5 and R^6 or R^7 and R^8 can be taken together with the carbon atom to which R^5 and R^6 , or R^7 and R^8 are bonded, respectively, to form carbonyl, thiocarbonyl or imino, and E is oxygen atom or sulfur atom.

9. A compound, and pharmaceutically acceptable salts and individual isomers thereof according to Claim 8 wherein D is :

R^1 is hydrogen, (C_1-C_6) alkyl, (C_3-C_6) cycloalkyl, (C_1-C_6) hydroxyalkyl, or (C_1-C_6) aminoalkyl, and

5 R^2 and R^3 are independently hydrogen, (C_1-C_6) alkyl, substituted (C_1-C_6) alkyl, (C_1-C_6) acyl, or (C_1-C_6) alkoxycarbonyl, and

R^1 and R^2 or R^2 and R^3 can be taken together to form alkylene,

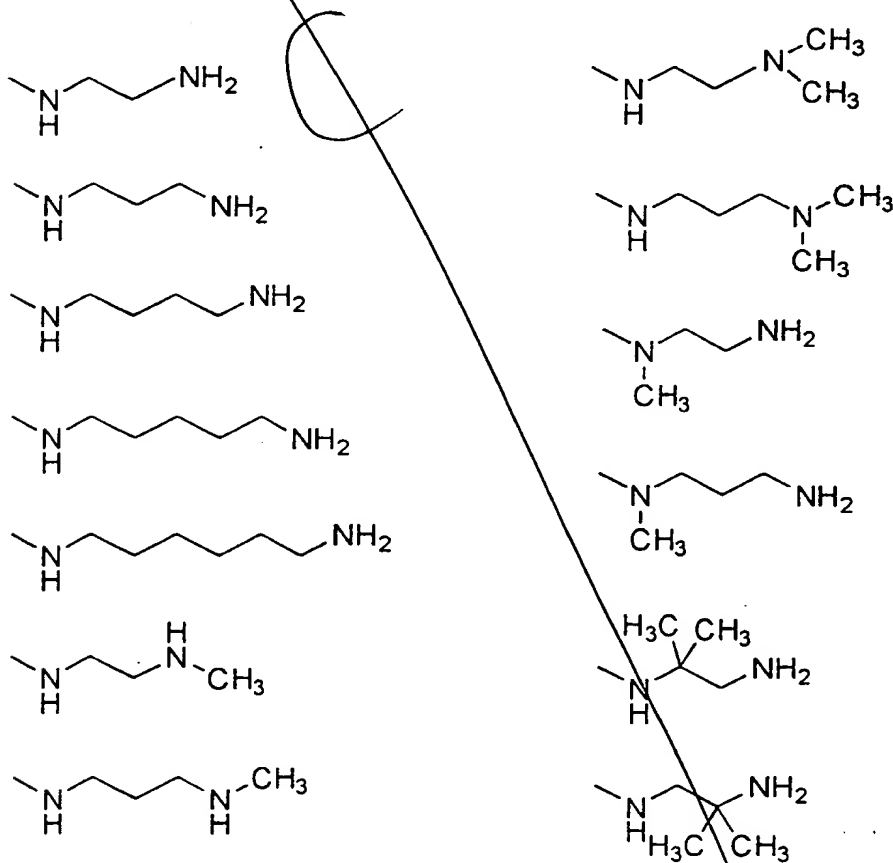
R^5 , R^6 , R^7 , and R^8 are independently hydrogen, halogen, (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, $-OR^9$, $-SR^9$, $-NR^9R^{10}$, $-OC(O)OR^9$, $-NHC(O)R^9$, $-C(O)OR^9$, and

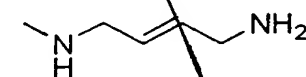
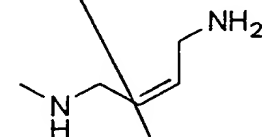
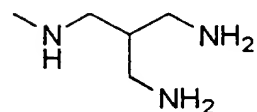
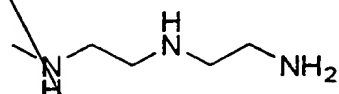
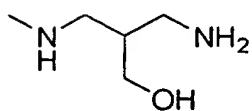
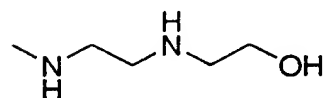
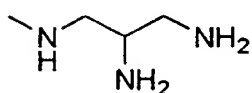
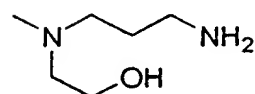
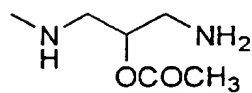
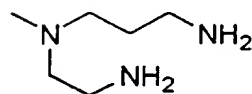
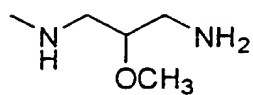
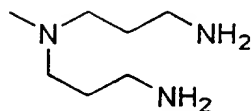
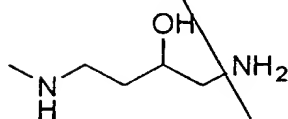
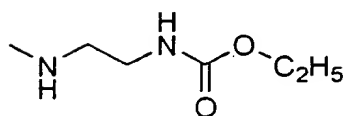
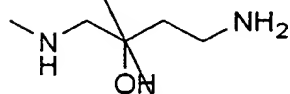
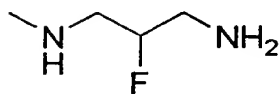
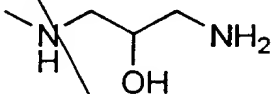
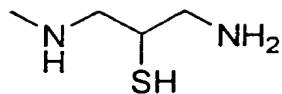
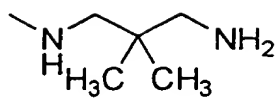
10 R^5 can be taken together with R^1 or R^2 to form alkylene,

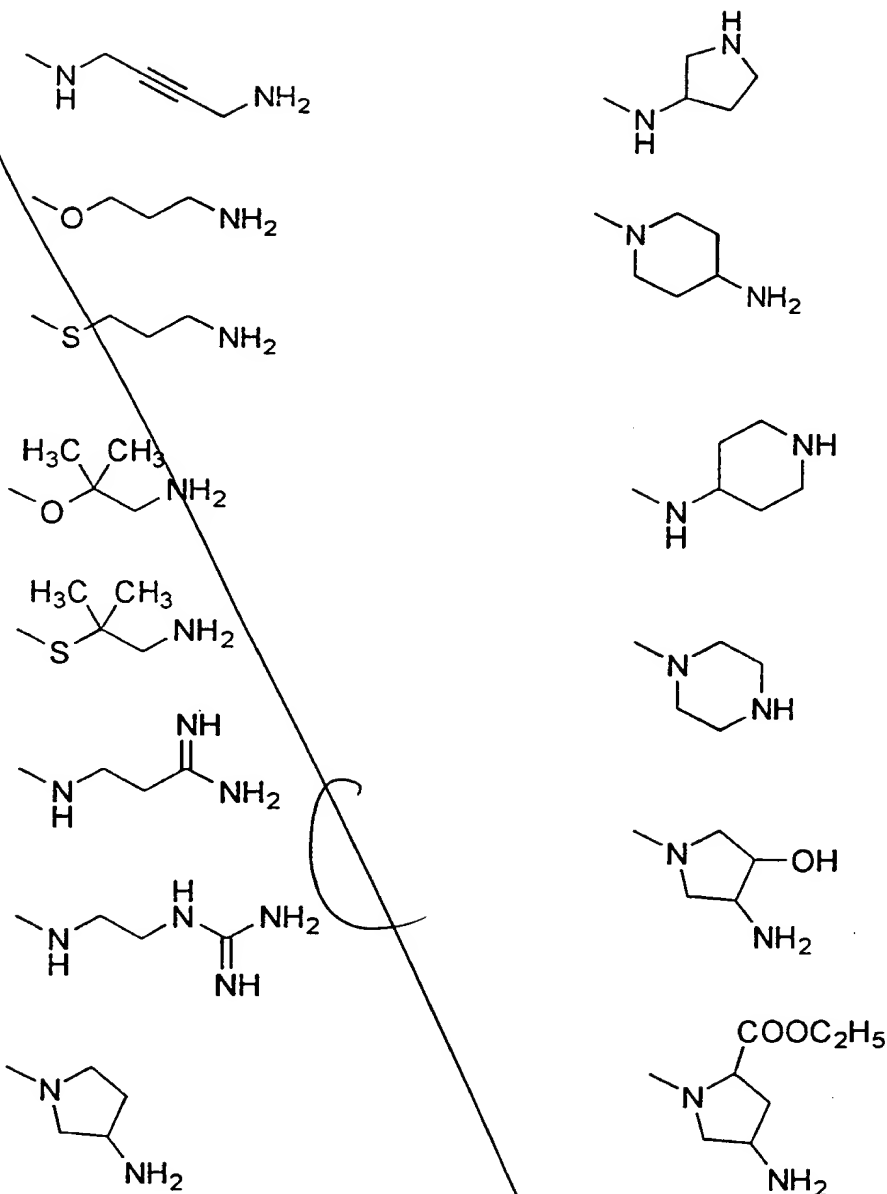
R^9 and R^{10} are independently hydrogen, (C_1-C_5) alkyl, and

R^9 can be taken together with R^1 or R^2 to form alkylene.

10. A compound, and pharmaceutically acceptable salts and individual isomers thereof according to Claim 9 wherein D is selected from:







and

11. A compound, and pharmaceutically acceptable salts and individual isomers thereof according to Claim 2 wherein :

B is as defined in Claim 6 and D is as defined in Claim 8.

12. A compound, and pharmaceutically acceptable salts and individual isomers thereof according to Claim 2 wherein :

B is as defined in Claim 7 and D is as defined in Claim 10.

13. A compound, and pharmaceutically acceptable salts and individual isomers thereof according to Claim 4 wherein :

B is as defined in Claim 7 and D is as defined in Claim 8.

14. A compound, and pharmaceutically acceptable salts and individual isomers thereof according to Claim 5 wherein :

B is as defined in Claim 6 and D is as defined in Claim 10.

15. A compound of Claim 2 which is selected from :

- 5 N-(2-Aminoethyl)-3-phenyl-2(R)-[2-(1,1,4-trioxo-2,3-dihydro-[1,5]benzothiazepin-5-yl)-acetylamino]propionamide;
- N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(2-oxo-2,3,4,5-tetrahydrobenzo[b]azepin-1-yl)propionamide;
- 3-(3-Amino-2-oxo-2,3,4,5-tetrahydro-benzo[b]azepin-1-yl)-N-[1(R)-(2-aminoethylcarbamoyl)-2-(naphthalen-2-yl)ethyl]propionamide;
- N-[1(R)-(2-Aminoethylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(6-oxo-11,12-dihydro-6H-dibenzo[b,f]azocin-5-yl)propionamide;
- N-[1(R)-(3-Amino-propylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(6-oxo-11,12-dihydro-6H-dibenzo[b,f]azocin-5-yl)propionamide;
- 15 N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(4-oxo-2,3-dihydro[1,5]benzothiazepin-5-yl)propionamide;
- N-[1(R)-(4-Aminobutylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(4-oxo-2,3-dihydro- [1,5]benzothiazepin-5-yl)propionamide;
- 20 N-(4-Aminobutyl)-3-(naphthalen-2-yl)-2(R)-[2-(4-oxo-2,3-dihydro-[1,5]benzothiazepin-5-yl)-acetylamino]propionamide;
- N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(11-oxo-11H-dibenzo[b,f][1,4]diazepin-10-yl)propionamide;
- N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(5,11-dioxo-2,3-dihydro-1H-(11aS)-pyrrolo[2,1-c][1,4]benzodiazepin-10-yl)propionamide;
- 25 N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(6-methoxy-2-oxo-2,3,4,5-tetrahydro-benzo[b]azepin-1-yl)propionamide;
- N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-4-(4-oxo-2,3-dihydro- [1,5]benzothiazepin-5-yl)butyramide;
- N-[1(R)-(4-Aminobutylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(4-methyl-2,5-dioxo-2,3,4,5-tetrahydro-benzo[e][1,4]diazepin-1-yl)propionamide;
- 30 N-[1(R)-(2-Aminoethylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(3-oxo-2,3-dihydro- benzo[3,2-b][1,4]oxazin-4-yl)propionamide;
- N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(3-oxo-2,3-dihydro-benzo[1,4]oxazin-4-yl)propionamide;
- 35 N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(2-oxo-3,4,5,6-tetrahydro-2H-benzo[b]azocin-1-yl)propionamide;
- N-(2-Amino-2-methylpropyl)-3-(naphthalen-2-yl)-2(R)-[3-(4-oxo-2,3-dihydro-[1,5]benzothiazepin-5-yl)-propionylamino]propionamide
- N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(2-methyl-4-oxo-2,3-dihydro[1,5]benzothiazepin-5-yl)propionamide;
- 40

- N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-4-(6-oxo-11,12-dihydro-6H-dibenzo[b,f]azocin-5-yl)butyramide;
- N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-4-(3-oxo-2,3-dihydro-benzo[1,4]thiazin-4-yl)butyramide;
- 5 N-[1(R)-(3-Methylamino-propylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(4-oxo-2,3-dihydro-[1,5]benzothiazepin-5-yl)propionamide;
- N-[1(R)-(3-Methylamino-propylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-4-(4-oxo-2,3-dihydro-[1,5]benzothiazepin-5-yl)butyramide;
- 10 N-(1(R)-[(3-Aminopropyl)-methylcarbamoyl]-2-(naphthalen-2-yl)ethyl)-4-(4-oxo-2,3-dihydro-[1,5] benzothiazepin-5-yl)butyramide;
- N-(3-Amino-2-hydroxypropyl)-3-(naphthalen-2-yl)-2(R)-[3-(4-oxo-2,3-dihydro-[1,5] benzothiazepin-5-yl)propionylamino]propionamide;
- N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-4-(4-oxo-2,3-dihydro-[1,5]benzothiazepin-5-yl)butyramide;
- 15 N-[1(R)-(2-Amino-ethylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-4-(4-oxo-2,3-dihydro- [1,5] benzothiazepin-5-yl)butyramide;
- N-(1(R)-[Bis-(3-aminopropyl)carbamoyl]-2-(naphthalen-2-yl)ethyl)-4-(4-oxo-2,3-dihydro-[1,5]benzothiazepin-5-yl)butyramide;
- N-[1(R)-(3-Amino-propylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-4-(1,1,4-trioxo-2,3-dihydro-[1,5]benzothiazepin-5-yl)butyramide;
- 20 N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-4-(11-oxo-11H-dibenzo[b,f][1,4]oxazepin-10-yl)butyramide;
- N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-phenothiazin-10-yl-propionamide
- 25 N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(6-oxo-11,12-dihydro-6H-dibenzo[b,f]azocin-5-yl)propionamide;
- N-(3-Amino-2-hydroxypropyl)-2(R)-[3-(6-methoxy-2-oxo-2,3,4,5-tetrahydro-benzo[b]azepin-1-yl)propionylamino]-3-(naphthalen-2-yl)propionamide;
- N-(3-Amino-2-hydroxypropyl)-3-(naphthalen-2-yl)2(R)-[3-(2-oxo-2,3,4,5-tetrahydro-benzo [b]azepin-1-yl)propionylamino]propionamide;
- 30 N-(3-Amino-2-hydroxypropyl)-3-(naphthalen-2-yl)-2(R)-[3-(2-oxo-3,4,5,6-tetrahydro-2H-benzo [b]azocin-1-yl)propionylamino]propionamide;
- N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-5-(4-oxo-2,3-dihydro-[1,5] benzothiazepin-5-yl)pentanamide;
- 35 N-[1(R)-(2-aminoethylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-5-(4-oxo-2,3-dihydro-[1,5] benzothiazepin-5-yl)pentanamide;
- N-[1(R)-(3-Aminopropylcarbamoyl)-2-(1H-indol-3-yl)-ethyl]-4-(4-oxo-2,3-dihydro-[1,5]-benzothiazepin-5-yl)butyramide;
- N-[1(R)-(3-Aminopropylcarbamoyl)-2-(5,6,7,8-tetrahydro-naphthalen-2-yl)ethyl]-4-(4-oxo-2,3-dihydro-[1,5]-benzothiazepin-5-yl)butyramide;
- 40 N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(11-oxo-11H-dibenzo[b,f][1,4]oxazepin-10-yl)propionamide;

N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-4-(1,4-dioxo-2,3-dihydro-[1,5] benzothiazepin-5-yl)butyramide;

N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-4-(4-oxo-2,3-dihydro-[1,5]-benzoxazepin-5-yl)butyramide;

5 N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(2-methyl-4-oxo-2,3-dihydro[1,5]benzothiazepin-5-yl)propionamide;

N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(7-fluoro-4-oxo-[1,5]benzothiazepin-5-yl)propionamide;

10 N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(5,11-dioxo-2,3-dihydro-1H,(11aS)-pyrrolo[2,1-c][1,4]diazepin-10-yl)propionamide;

N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(phenothiazin-10-yl)propionamide;

N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-4-(6-methoxy-2-oxo-2,3,4,5-tetrahydro-benzo[b]azepin-1-yl)butyramide;

15 N-[1(R)-(2-Aminoethylcarbamoyl)-2-(naphthalene-2-yl)ethyl]-3-(8-fluoro-4-oxo-2,3-dihydro-[1,5]benzothiazepin-5-yl)propionamide;

N-(3-Amino-2-hydroxypropyl)-3-(naphthalene-2-yl)-2(R)-β-(4-oxo-7-trifluoromethyl-2,3-dihydro-[1,5]benzothiazepin-5-yl)propionylamino]propionamide;

20 N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-4-(4-oxo-2,3-dihydro-[1,5]-benzoxazepin-5-yl)butyramide;

N-(3-Amino-2-hydroxypropyl)-3-(naphthalen-2-yl)-2(R)-β-(4-oxo-2,3-dihydro-[1,5]-benzoxazepin-5-yl)propionylamino]propionamide;

N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-4-(8-fluoro-4-oxo-2,3-dihydro-[1,5]benzothiazepin-5-yl)butyramide;

25 N-(3-Amino-2-hydroxypropyl)-2(R)-[3-(8-fluoro-4-oxo-2,3-dihydro-[1,5]benzothiazepin-5-yl)propionylamino]-3-(naphthalen-2-yl)propionamide

N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-4-(8-fluoro-4-oxo-[1,5]-benzothiazepin-5-yl)butyramide;

30 N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(6-oxo-6,11-dihydro-dibenzo[b,e]azepin-5-yl)propionamide;

N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(6-oxo-6,11-dihydro-dibenzo[b,e]-azepin-5-yl)propionamide;

N-[1(R)-(2-Aminoethylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(6,11-dioxo-6,11-dihydro-dibenzo[b,e]azepin-5-yl)propionamide;

35 N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(6,11-dioxo-6,11-dihydro-dibenzo[b,e]azepin-5-yl)propionamide;

N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(6,11-dioxo-6,11-dihydro-dibenzo-[b,e]-azepin-5-yl)propionamide;

40 N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-5-(6-oxo-6H-dibenzo[b,f]azocin-5-yl)pentanamide;

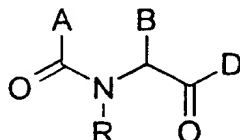
N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-5-(6-oxo-6H-dibenzo[b,f]azocin-5-yl)pentanamide;

- N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(11-oxo-11H-dibenzo[b,f][1,4]thiazepin-10-yl)propionamide;
- N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(11-oxo-11H-dibenzo[b,f][1,4]-thiazepin-10-yl)propionamide;
- 5 N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-5-(5,11-dioxo-5,11-dihydrodibenzo-[b,f][1,4]thiazepin-10-yl)pentanamide;
- N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-5-(5,5,11-trioxo-5,11-dihydro-dibenzo[b,f][1,4]thiazepin-10-yl)pentanamide;
- 10 N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(2,2-dimethyl-4-oxo-3,4-dihydro-2H-benzo[1,5]thiazepin-5-yl)propionamide;
- N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(7-chloro-5,11-dioxo-2,3,11,11a-tetrahydro-1H,5H-benzo[e]pyrrolo[1,2-a][1,4]diazepine-10-yl)propionamide;
- 15 N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(6,12-dioxo-6,6a,7,8,9,10-hexahydro-12H-benzo[e]pyrido[1,2-a][1,4]diazepine-5-yl)propionamide;
- N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(9-fluoro-2-oxo-3,4,5,6-tetrahydro-2H-benzo[b]azocin-1-yl)propionamide;
- N-[1(R)-(3-Aminopropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-2-methyl-3-(4-oxo-3,4-dihydro-2H-benzo[1,5]-thiazepin-5-yl)propionamide;
- 20 N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-2-methyl-3-(4-oxo-3,4-dihydro-2H-benzo[1,5]thiazepin-5-yl)propionamide;
- N-[1(R)-(3-amino-2(S)-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-4-(4-oxo-3,4-dihydro-[1,5]-benzothiazepin-5-yl)butyramide;
- 25 N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-2-methyl-3-(5,11-dioxo-2,3,11,11a-tetrahydro-1H,5H-benzo[e]pyrrolo[1,2-a][1,4]diazepine-10-yl)propionamide;
- N-[1(R)-(3-amino-2(R)-hydroxy-propylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-4-(4-oxo-3,4-dihydro-[1,5]-benzothiazepin-5-yl)butanamide;
- 30 N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-2,2-dimethyl-3-(5,11-dioxo-2,3,11,11a-tetrahydro-1H,5H-benzo[e]pyrrolo[1,2-a][1,4]diazepine-10-yl)propionamide;
- N-[1(R)-(3-Amino-2-hydroxypropylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-2,2-dimethyl-3-(1,1,4-trioxo-benzo-[1,5]thiazepin-5-yl)propionamide;
- 35 N-[1(R)-(3-Aminoethylcarbamoyl)-2-(naphthalen-2-yl)ethyl]-2-methyl-3-(4-oxo-3,4-dihydro-[1,5]benzothiazepin-5-yl)propionamide;
- N-[1(R)-(3-Amino-2-hydroxycarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(4-oxo-3,4-dihydro[1,5]benzothiazepin-5-yl)butyramide;
- N-[1(R)-(3-Amino-2-hydroxycarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(3-cyano-5-isopropyl-2-oxo-2H-cyclohepta-4,6,8-trieno[b]pyrrol-1-yl)propionamide;
- 40 N-[1(R)-(3-Amino-2-hydroxycarbamoyl)-2-(naphthalen-2-yl)ethyl]-3-(5,11-dioxo-2,3,11,11a-tetrahydro-1H,5H-benzo[e]pyrrolo[1,2-a][1,4]diazepin-10-yl)propionamide; and
- N-[1(R)-[2-Hydroxy-3-(2(R)-hydroxypropylamino)propylcarbamoyl]-2-naphthalen-2-yl-ethyl]-4-(4-oxo-2,3-dihydro-[1,5]benzothiazepin-5-yl)butyramide.

- B
16. A composition useful for increasing the level of growth hormone in a human or an animal which comprises an inert carrier and an effective amount of a compound according to ~~any one of Claims 1 to 15.~~ *Claim 1*
- 5 B
17. A composition useful for increasing the level of growth hormone in a human or an animal which comprises an inert carrier, an effective amount of a compound according to ~~any one of Claims 1 to 15~~ and a growth hormone secretagogues selected from KP-102(GHRP-2), GHRP-6, Hexarelin, GHRP-1, L-692,429, L-692,585, MK-0677, G-7220, or growth hormone releasing factor (GRF), IGF-1, IGF-2, or B-HT920 or said growth hormone.
- 10
18. A method for increasing levels of endogenous growth hormones in a human or an animal which comprises administering to such human or animal an effective amount of a compound according to ~~any one of Claims 1 to 15.~~ *Claim 1*
- 15
19. A method for treating or preventing diseases or conditions which may be treated or prevented by growth hormone which comprises administering to a human or an animal of such treatment or prevention an amount of a compound according to ~~any one of Claims 1 to 15~~ which is effective in promoting release of said growth hormone. *Claim 1*
- 20
20. A method of Claim 19 wherein the disease or condition is selected from the group consisting of : osteoporosis; catabolic illness; immune deficiency, including that in individuals with a depressed T4/T8 cellratio; hip fracture; musculoskeletal impairment in the elderly; growth hormone deficiency in adults or in children; obesity; cachexia and protein loss due to chronic illness such as AIDS or cancer; and treatment of patients recovering from major surgery, wounds or burns.
- 25 B
21. A method for increasing the level of growth hormone in a human or an animals which comprises administering to a patient a compound according to ~~any one of Claims 1 to 15~~ in combination with an additional growth hormone secretagogue selected from KP-102(GHRP-2), GHRP-6, Hexarelin, GHRP-1, growth hormone releasing factor(GRF), IGF-1, IGF-2, B-HT920 or said growth hormone. *Claim 1*
- 30 B
22. A method for the treatment of osteoporosis which comprises administering to a patient with osteoporosis a combination of a bisphosphonate compound such as alendronate, and a compound according to ~~any one of Claims 1 to 15.~~ *Claim 1*
- B
23. A method for the treatment of bone fractures, wounds or burns which comprises administering to a patient with bone fractures, wounds or burns a combination of a growth factor such as FGF (fibroblast growth factor), PDBF (platelet-derived growth factor) and a compound according to ~~any one of Claims 1 to 15.~~ *Claim 1*

24. A method to increase the rate and extent of growth of animals, to increase the milk or wool production of animals, or for the treatment of ailments, the method comprising administering to a subject in need thereof an effective amount of a compound according to ^{to Claim 1} ~~any one of Claims 1 to 15.~~

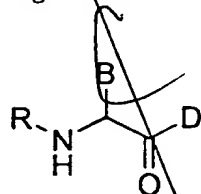
5. 25. A process for the preparation of a compound having a formula:



wherein A, R, B and D are as defined in Claim 1
which comprises reacting a compound having a formula :

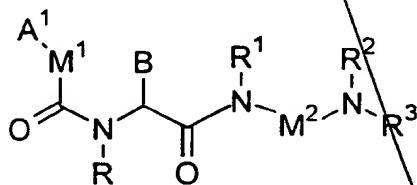


wherein R¹¹ is a leaving group and A is as defined in Claim 1 with a compound having a formula :



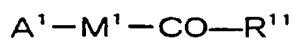
15 wherein R, B and D are as defined in Claim 1

26. A process for the preparation of a compound having a formula :

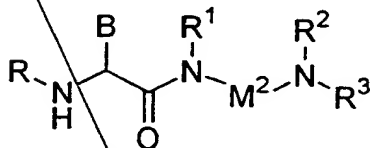


wherein R and B are as defined in Claim 1, A¹ and M¹ are as defined in Claim 2, and R¹, R², R³ and M² are as defined in Claim 8

20 which comprises reacting a compound having a formula :



wherein A^1 and M^1 are as defined above and R^{11} is as defined in Claim 25, with a compound having a formula



II

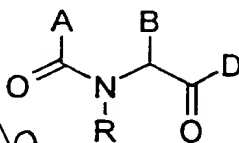
or



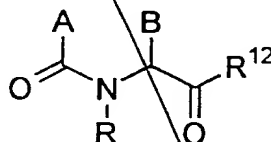
III

wherein L is a protecting group of amino acid and B, M^2 , R, R^1 , R^2 , and R^3 are as defined above, in an inert solvent.

- 5 27. A process for the preparation of a compound having a formula :



wherein A, B, D and R are as defined in Claim 1, which comprises reacting a compound having a formula :

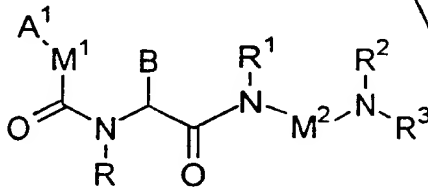


wherein R^{12} is a leaving group and A, B, and R are as defined above, with a compound having a formula :

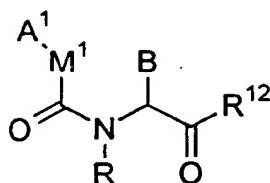


- 10 wherein D is as defined above, in an inert solvent.

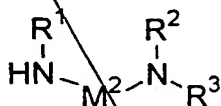
28. A process for the preparation of a compound having a formula :



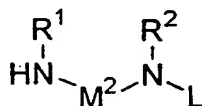
wherein B and R are as defined in Claim 1 and A^1 and M^1 are as defined in Claim 2 and R^1 , R^2 , R^3 , and M^2 are as defined in Claim 8, which comprises reacting a compound having a formula :



wherein A^1 , M^1 , B, and R are as defined in above and R^{12} is as defined in Claim 27, with a compound having a formula :



IV

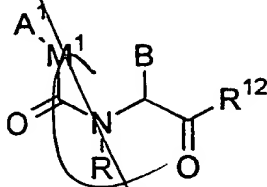


V

or

wherein R^1 , R^2 , R^3 , M^2 and L are as defined above, in an inert solvent, and comprises deprotecting L.

29. A compound having a formula :



wherein A^1 , M^1 are as defined in Claim 2, and R is as defined in Claim 1, and R^{12} is as defined in Claim 27.

30. A compound of Claim 29 wherein A^1 is as defined in Claim 4.

31. A compound having a formula :



10

wherein R and B are as defined in Claim 1 and R^1 , R^2 , R^3 , and M^2 are as defined in Claim 8.

32. A compound of Claim 31 wherein B is as defined in Claim 6 and R^1 , R^2 , R^3 , and R^5 , R^6 , R^7 , and R^8 in M^2 are as defined in Claim 9.

add
D1

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E1